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论文

"8204"对心血管系统和支气管平滑肌的药理作用

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摘要:

"8204"是新合成的化合物。动物实验表明:可使冠脉流量增加,心肌耗氧置降低,提高动物常压和低压情况下的缺氧耐力;对垂体后叶素诱发的缺血性心电图有保护作用,还能松弛离体豚鼠气管平滑肌,对抗乙酰胆碱和组胺引起的气管、支气管平滑肌收缩,增加豚鼠肺灌流量;对豚鼠吸入组胺引起的哮喘有明显保护作用。这些结果提示"8204"对治疗心肌缺血和支气管哮喘是有益的。

关键词: 1-(2,3,4-三甲氧苄基)-4-(4-氨基-3,5-二氯苯乙基-<math>a-三甲硅氧基)哌嗪二盐酸盐(8204) 冠脉流量 心肌氧耗量 平喘

PHARMACOLOGICAL ACTIONS OF "8204" ON CARDIOVASCULAR SYSTEM AND BRONCHIAL SMOOTH MUSCLE

ZHU Zhi-Hua; YANG Ping; TANG Zu-Nian; ZENG Yan-Gen; DONG Juan; ZHENG Li-Ping and WANG Zeng-Tian

Abstract:

8204 (1- (2,3,4-trimethoxybenzyl)-4-(a-trimethysilyloxy-2-(4-amino-3', 5'-dichlorophenyl) ethyl) piperazine dihydroehloride) was synthesized by Henan Institute of Pharmaceutical Industrial Research. "8204" had been shown to increase coronary flow in isolated rabbit heart. In anesthetized open-chest dogs, intravenous injection of "8204" (4 mg/kg) enhanced coronary venous flow, lowered myocardial O_2 consumption and slowed heart rate. "8204" was shown to increase the tolerance of mice to hypoxia and prevent rats from pituitrin induced change of ECG. "8204" exhibited relaxing effect on guineapig tracheal smooth muscle made to contract by acetylcholine and histamine. It increased the perfusion rate of isolated lung preparation of guinea-pig from 5.7 ± 2.0 to 16.3 ± 5.8 ml/min (p<0.001).Intraperitioneal injection of "8204" 2 mg/kg showed prominent protective effect against bronchial asthma induced by inhalation of histamine in conscious guineapig.In rats given "8204" 20 mg/kg or 40 mg/kg per day orally for three months, no obvious pathological change in various tissue was found. It appears that "8204" probably have helpful effects in the treatment of coronary heart disease, and may be an effective antiasthmatic agent.

Keywords: Coronary blood flow Myocardial O_2 consumption Antiasthmatic 1-(2, 3, 4-Trimethoxybenzyl)-4-Ea-trimethysilyloxy-2-(4-amino-3', 5'-diehorophenyl) ethyl] piperazine dihydrochloride (8204)

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- ▶冠脉流量
- ▶心肌氧耗量
- ▶ 平喘

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